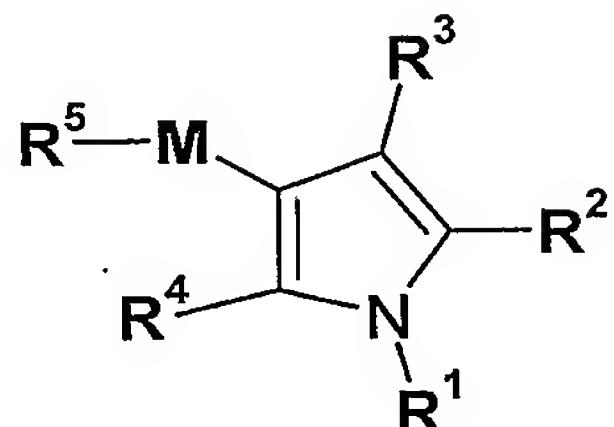


CLAIMS:

1. The use of a compound of Formula (I),



5

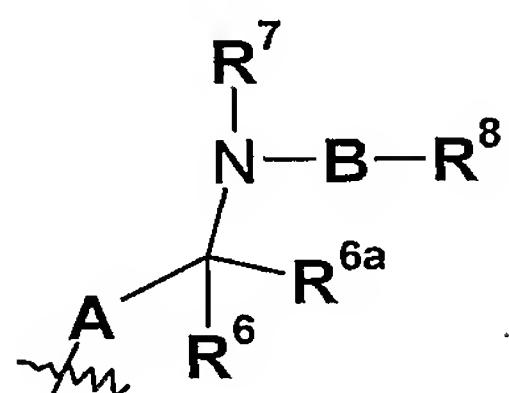
Formula (I)

wherein:

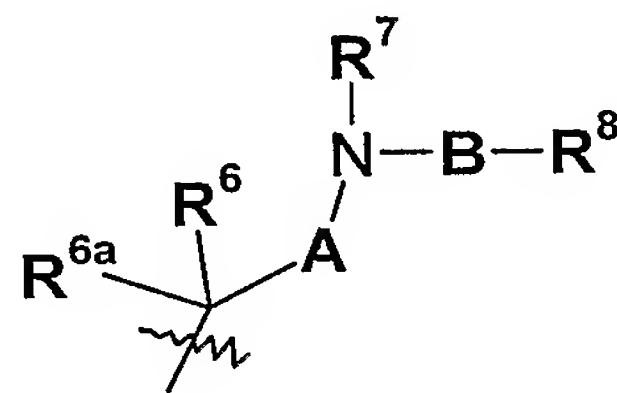
$\mathbf{R}^1$  is selected from: hydrogen, optionally substituted  $\text{C}_{1-6}$ alkyl, optionally substituted aryl or optionally substituted aryl $\text{C}_{1-6}$ alkyl, wherein the optional substituents are selected from  $\text{C}_{1-4}$ alkyl, nitro, cyano, fluoro and  $\text{C}_{1-4}$ alkoxy;

$\mathbf{R}^2$  is an optionally substituted mono or bi-cyclic aromatic ring, wherein the optional substituents are 1, 2 or 3 substituents independently selected from: cyano,  $\mathbf{R}^e\mathbf{R}^f\text{N}-$ ,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ alkoxy, halo, halo $\text{C}_{1-6}$ alkyl or halo $\text{C}_{1-6}$ alkoxy wherein  $\mathbf{R}^e$  and  $\mathbf{R}^f$  are independently selected from hydrogen,  $\text{C}_{1-6}$ alkyl or aryl;

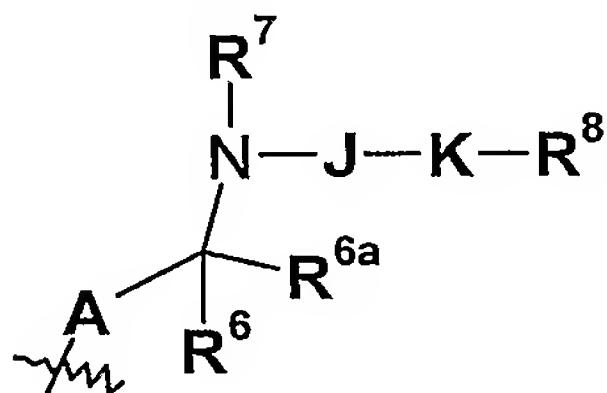
15  $\mathbf{R}^3$  is selected from a group of Formula (IIa) to Formula (IId):



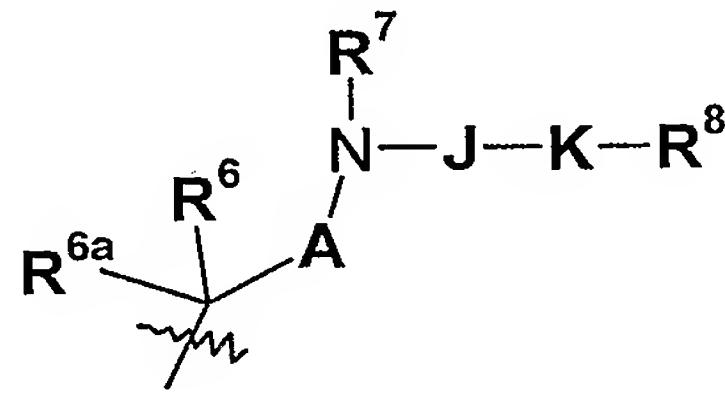
Formula (IIa)



Formula (IIb)



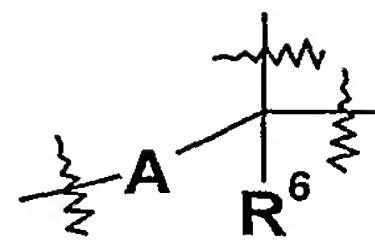
Formula (IIc)



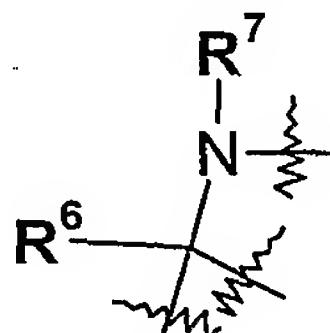
Formula (IId)

20 where  $\mathbf{R}^6$  and  $\mathbf{R}^{6a}$  are independently selected from hydrogen, fluoro, optionally substituted  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ alkoxy, or  $\mathbf{R}^6$  and  $\mathbf{R}^{6a}$  taken together and the carbon atom to which they are attached form a carbocyclic ring of 3-7 atoms or  $\mathbf{R}^6$  and  $\mathbf{R}^{6a}$  taken together and the carbon atom to which they are attached form a carbonyl group;

- 89 -



or when A is not a direct bond the group forms a carbocyclic ring of 3-7 carbon atoms or a heterocyclic ring containing one or more heteroatoms;



or the group forms a heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms;

5  $\mathbf{R}^7$  is selected from: hydrogen or  $\text{C}_{1-6}\text{alkyl}$ ;

$\mathbf{R}^8$  is selected from:

(i) hydrogen,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{2-6}\text{alkenyl}$ ,  $\text{C}_{2-6}\text{alkynyl}$ , halo $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{1-4}\text{alkoxyC}_{1-4}\text{alkyl}$ , hydroxy, hydroxy $\text{C}_{1-6}\text{alkyl}$ , cyano,  $\text{N-C}_{1-4}\text{alkylamino}$ ,  $\text{N,N-di-C}_{1-4}\text{alkylamino}$ ,  $\text{C}_{1-6}\text{alkyl-S(O}_n\text{)-}$ ,  $-\text{O-R}^b$ ,  $-\text{NR}^b\text{R}^c$ ,  $-\text{C(O)-R}^b$ ,  $-\text{C(O)O-R}^b$ ,  $-\text{CONR}^b\text{R}^c$ ,  $\text{NH-C(O)-R}^b$  or  $-\text{S(O}_n\text{)NR}^b\text{R}^c$ ,

10 where  $\mathbf{R}^b$  and  $\mathbf{R}^c$  are independently selected from hydrogen and  $\text{C}_{1-6}\text{alkyl}$  optionally substituted with hydroxy, amino,  $\text{N-C}_{1-4}\text{alkylamino}$ ,  $\text{N,N-di-C}_{1-4}\text{alkylamino}$ ,  $\text{HO-C}_{2-4}\text{alkyl-NH-}$  or  $\text{HO-C}_{2-4}\text{alkyl-N(C}_{1-4}\text{alkyl)-}$ ;

15 (ii) nitro when  $\mathbf{B}$  is a group of Formula (IV) and  $\mathbf{X}$  is CH and  $\mathbf{p}$  is 0;

(iii) carbocyclyl (such as  $\text{C}_{3-7}\text{cycloalkyl}$  or aryl) or aryl $\text{C}_{1-6}\text{alkyl}$  each of which is optionally substituted by  $\mathbf{R}^{12}$ , or  $\mathbf{R}^{13}$ ;

(iv) heterocyclyl or heterocyclyl $\text{C}_{1-6}\text{alkyl}$  each of which is optionally substituted by up to 4 substituents independently selected from  $\mathbf{R}^{12}$  or  $\mathbf{R}^{13}$ , and where any nitrogen atoms within a heterocyclyl group are, where chemically allowed, optionally in their oxidised ( $\text{N}\rightarrow\text{O}$ ,  $\text{N-OH}$ ) state;

20  $\mathbf{A}$  is selected from:

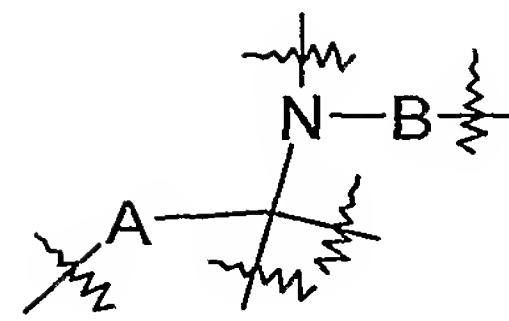
(i) a direct bond;

(ii) optionally substituted  $\text{C}_{1-5}\text{alkylene}$  wherein the optional substituents are independently selected from: hydroxy, hydroxy $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{1-6}\text{alkoxy}$ ,  $\text{C}_{1-4}\text{alkoxyC}_{1-4}\text{alkyl}$ , aryl or aryl $\text{C}_{1-6}\text{alkyl}$ ;

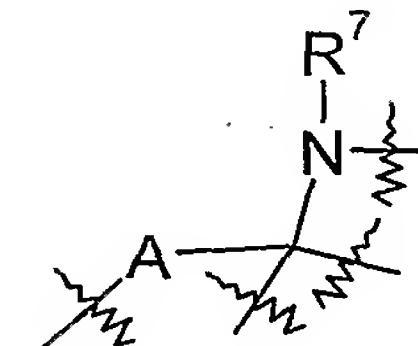
(iii) a carbocyclic ring of 3-7 atoms;

(iv) a carbonyl group or  $-\text{C(O)-C(R}^d\text{R}^d\text{)-}$ , wherein  $\mathbf{R}^d$  is independently selected from hydrogen and  $\text{C}_{1-2}\text{alkyl}$ ;

- 90 -



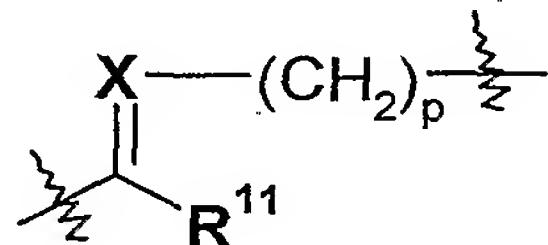
or when  $\mathbf{R}^3$  is a group of Formula (IIa) or (IIb), the group forms a heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms;



or when  $\mathbf{R}^3$  is a group of Formula (IIa), (IIb), (IIc) or (IId), the group forms a heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms;

5      **B** is selected from:

- (i) a direct bond;
- (ii) a group of Formula (IV)



Formula (IV)

10      wherein:

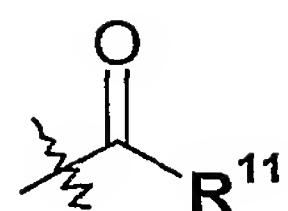
**X** is selected from N or CH,

wherein at position (a) Formula (IV) is attached to the nitrogen atom and the  $(\text{CH}_2)_p$  group is attached to  $\mathbf{R}^8$ ; and

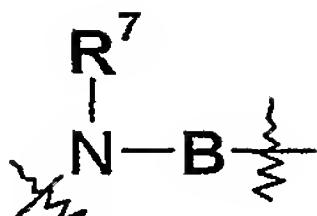
- (iii) a group independently selected from: optionally substituted  $\text{C}_{1-6}$ alkylene, optionally substituted  $\text{C}_{3-7}$ cycloalkyl, optionally substituted  $\text{C}_{3-6}$ alkenylene, optionally substituted  $\text{C}_{3-6}$ alkynyl,  $(\text{C}_{1-5}\text{alkyl})_{aa}\text{-S(O}_n\text{)}\text{-}(\text{C}_{1-5}\text{alkyl})_{bb}$ ,  $-(\text{C}_{1-5}\text{alkyl})_{aa}\text{-O-}(\text{C}_{1-5}\text{alkyl})_{bb}$ ,  $-(\text{C}_{1-5}\text{alkyl})_{aa}\text{-C(O)-}(\text{C}_{1-5}\text{alkyl})_{bb}$  or  $(\text{C}_{1-5}\text{alkyl})_{aa}\text{-N(R}^{17}\text{)-}(\text{C}_{1-5}\text{alkyl})_{bb}$ , or  $-(\text{C}_{1-5}\text{alkyl})_{aa}\text{-C(O)NH-}(\text{C}_{1-5}\text{alkyl})_{bb}$

15      where  $\mathbf{R}^{17}$  is hydrogen or  $\text{C}_{1-4}$ alkyl, or where  $\mathbf{R}^{17}$  and the  $(\text{C}_{1-5}\text{alkyl})_{aa}$  or  $(\text{C}_{1-5}\text{alkyl})_{bb}$  chain can be joined to form a heterocyclic ring, wherein aa and bb are independently 0 or 1 and the combined length of  $(\text{C}_{1-5}\text{alkyl})_{aa}$  and  $(\text{C}_{1-5}\text{alkyl})_{bb}$  is less than or equal to  $\text{C}_5\text{alkyl}$  and wherein the optional substituents are independently selected from  $\mathbf{R}^{12}$ , or the group  $-\mathbf{B}\text{-R}^8$  represents a group of Formula (V)

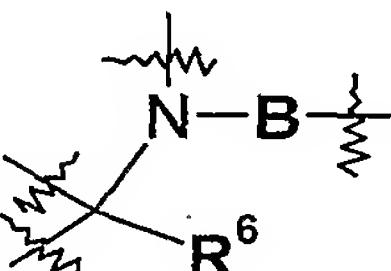
- 91 -



Formula (V);



or the group together forms an optionally substituted heterocyclic ring containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from  $\mathbf{R}^{12}$  and  $\mathbf{R}^{13}$ ;



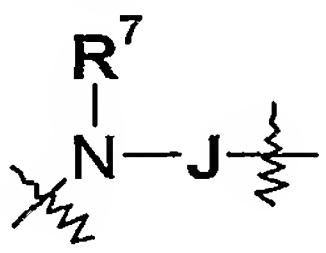
or the group forms a heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms;

$\mathbf{R}^{11}$  is selected from: hydrogen, optionally substituted  $\text{C}_{1-6}$ alkyl,  $\text{N}(\mathbf{R}^{23}\mathbf{R}^{24})$  or  $\text{NC(O)OR}^{25}$ , where  $\mathbf{R}^{23}$ ,  $\mathbf{R}^{24}$  and  $\mathbf{R}^{25}$  are independently selected from: hydrogen, hydroxy, optionally substituted  $\text{C}_{1-6}$ alkyl, optionally substituted aryl, optionally substituted aryl $\text{C}_{1-6}$ alkyl, an optionally substituted carbocyclic ring of 3-7 atoms, optionally substituted heterocyclyl or optionally substituted heterocyclyl $\text{C}_{1-6}$ alkyl or  $\mathbf{R}^{23}$  and  $\mathbf{R}^{24}$  taken together with the nitrogen atom to which they are attached, can form an optionally substituted ring of 3-10 atoms,



wherein the optional substituents are selected from  $\mathbf{R}^{12}$  and where K and  $\mathbf{R}^8$  are as defined herein;

$\mathbf{J}$  is a group of the formula:  $-(\text{CH}_2)_s-\mathbf{L}-(\text{CH}_2)_s-$  or  $-(\text{CH}_2)_s-\text{C(O)}-(\text{CH}_2)_s-\mathbf{L}-(\text{CH}_2)_s-$  wherein when  $s$  is greater than 0, the alkylene group is optionally substituted,



or the group together forms an optionally substituted heterocyclic ring containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from  $\mathbf{R}^{12}$  and  $\mathbf{R}^{13}$ ;

$\mathbf{K}$  is selected from: a direct bond,  $-(\text{CH}_2)_{s1}-$ ,  $-(\text{CH}_2)_{s1}-\text{O}-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{C(O)}-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{S(O}_n\text{)}-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{N}(\mathbf{R}^{17a})-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{C(O)N}(\mathbf{R}^{17a})-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{N}(\mathbf{R}^{17a})\text{C(O)}-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{N}(\mathbf{R}^{17a})\text{C(O)N}(\mathbf{R}^{17a})-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{OC(O)}-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{C(O)O}-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{N}(\mathbf{R}^{17a})\text{C(O)O}-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{OC(O)N}(\mathbf{R}^{17a})-(\text{CH}_2)_{s2}-$ ,  $-(\text{CH}_2)_{s1}-\text{OS(O}_n\text{)}-(\text{CH}_2)_{s2}-$ , or  $-(\text{CH}_2)_{s1}-\text{S(O}_n\text{)}-\text{O}-(\text{CH}_2)_{s2}-$ ,

- 92 -

$-(CH_2)_{s1}-S(O)_2N(R^{17a})-(CH_2)_{s2}$ - or  $-(CH_2)_{s1}-N(R^{17a})S(O)_2-(CH_2)_{s2}$ -, wherein the  $-(CH_2)_{s1}$ - and  $-(CH_2)_{s2}$ - groups are independently optionally substituted by hydroxy or  $C_{1-4}$ alkyl and wherein when  $s1>1$  or  $s2>1$  then the  $CH_2$  group can optionally be a branched chain.;

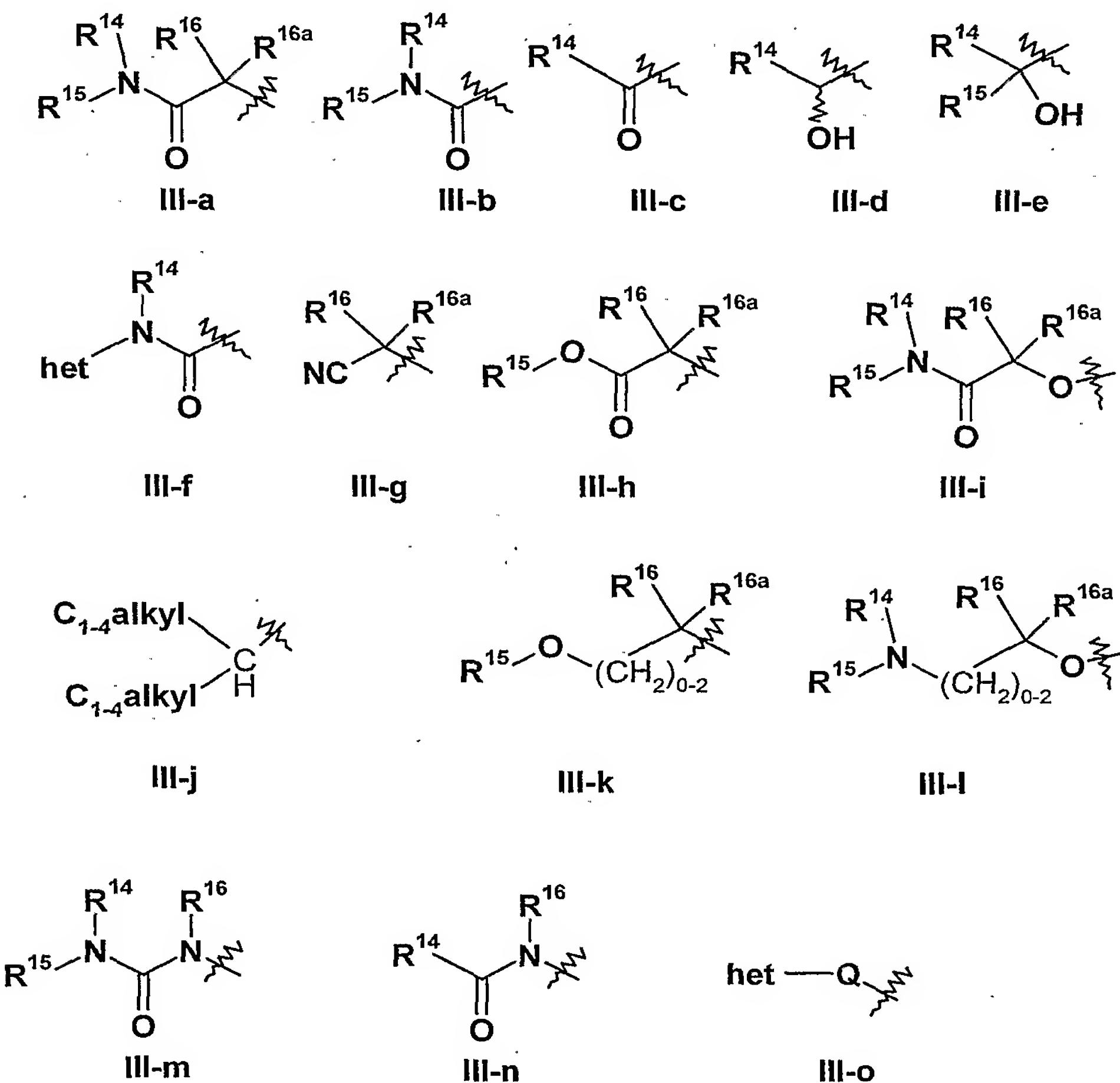
where  $R^{17a}$  is hydrogen or  $C_{1-4}$ alkyl;

5 L is selected from optionally substituted aryl or optionally substituted heterocyclyl;

$R^4$  is selected from hydrogen,  $C_{1-4}$ alkyl or halo;

$R^5$  is selected from a group of Formula III-a; III-b; III-c; III-d; III-e; III-f, III-g, III-h,

10 III-i, or III-j, III-k, III-l, III-m, III-n or III-o



wherein:

het represents an optionally substituted 3- to 8-membered heterocyclic ring

15 containing from 1 to 4 heteroatoms independently selected from O, N and S,

- 93 -

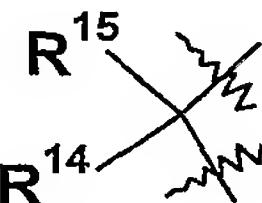
wherein the optional substituents are selected from 1-2 groups selected from **R**<sup>12</sup> and **R**<sup>13</sup>; and

**Q** is selected from a direct bond or  $-\text{[C}(\mathbf{R}^{16}\mathbf{R}^{16a})]_{1-2}-$ ;

**R**<sup>14</sup> and **R**<sup>15</sup> are selected from:

5 (i) **R**<sup>14</sup> selected from hydrogen; optionally substituted C<sub>1-8</sub>alkyl; optionally substituted aryl;  $-\mathbf{R}^d\text{-Ar}$ , where **R**<sup>d</sup> represents C<sub>1-8</sub>alkylene and Ar represents optionally substituted aryl; and optionally substituted 3- to 8-membered heterocyclic ring optionally containing from 1 to 3 further heteroatoms independently selected from O, N and S; and **R**<sup>15</sup> is selected from hydrogen; 10 optionally substituted C<sub>1-8</sub>alkyl and optionally substituted aryl;

(ii) wherein the group of Formula (III) represents a group of Formula **III-a**, **III-b**, **III-i**, **III-l** or **III-m**, then the group  $\text{NR}^{14}(-\mathbf{R}^{15})$  represents an optionally substituted 3- to 8-membered heterocyclic ring optionally containing from 1 to 3 further heteroatoms independently selected from O, N and S; or



15 (iii) wherein the group of Formula (III) represents structure **III-e**, **R**<sup>14</sup> represents an optionally substituted 3- to 8-membered heterocyclic ring optionally containing from 1 to 4 heteroatoms independently selected from O, N and S;

**R**<sup>16</sup> and **R**<sup>16a</sup> are independently selected from:

20 (i) hydrogen or optionally substituted C<sub>1-8</sub>alkyl; or  
 (ii) **R**<sup>16</sup> and **R**<sup>16a</sup> together with the carbon to which they are attached form an optionally substituted 3 to 7-membered cycloalkyl ring;

**R**<sup>12</sup> is independently selected from: halo, hydroxy, hydroxyC<sub>1-6</sub>alkyl, oxo, cyano, cyanoC<sub>1-6</sub>alkyl, nitro, carboxyl, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-6</sub>alkoxycarbonylC<sub>0-4</sub>alkyl, C<sub>1-6</sub>alkanoylC<sub>0-4</sub>alkyl, C<sub>1-6</sub>alkanoyloxyC<sub>0-4</sub>alkyl, 25 C<sub>2-6</sub>alkenyl, C<sub>1-3</sub>perfluoroalkyl-, C<sub>1-3</sub>perfluoroalkoxy, aryl, arylC<sub>1-6</sub>alkyl, heterocyclyl, heterocyclylC<sub>1-6</sub>alkyl, aminoC<sub>0-4</sub>alkyl, N-C<sub>1-4</sub>alkylaminoC<sub>0-4</sub>alkyl, N, N-di-C<sub>1-4</sub>alkylaminoC<sub>0-4</sub>alkyl, carbamoyl, N-C<sub>1-4</sub>alkylcarbamoylC<sub>0-2</sub>alkyl, N, N-di-C<sub>1-4</sub>alkylaminocarbamoylC<sub>0-2</sub>alkyl, aminocarbonylC<sub>0-4</sub>alkyl, 30 N-C<sub>1-6</sub>alkyaminocarbonylC<sub>0-4</sub>alkyl, N, N-C<sub>1-6</sub>alkyaminocarbonylC<sub>0-4</sub>alkyl, C<sub>1-6</sub>alkyl-S(O)<sub>n</sub>-aminoC<sub>0-4</sub>alkyl-, aryl-S(O)<sub>n</sub>-aminoC<sub>0-2</sub>alkyl-, C<sub>1-3</sub>perfluoroalkyl-S(O)<sub>n</sub>-aminoC<sub>0-2</sub>alkyl-; C<sub>1-6</sub>alkylamino-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-,

- 94 -

arylamino-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-, C<sub>1-3</sub>perfluoroalkylamino-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-, C<sub>1-6</sub>alkanoylamino-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-, arylcarbonylamino-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-, C<sub>1-6</sub>alkyl-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-, aryl-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-, C<sub>1-3</sub>perfluoroalkyl-, C<sub>1-3</sub>perfluoroalkoxyC<sub>0-2</sub>alkyl;  $\mathbf{R}^9'OC(O)(CH_2)_w-$ ,  $\mathbf{R}^9''\mathbf{R}^{10''}N(CH_2)_w-$ ,  $\mathbf{R}^9'R^{10'}NC(O)(CH_2)_w-$ ,  $\mathbf{R}^9R^{10}NC(O)N(\mathbf{R}^9)(CH_2)_w-$ ,  $\mathbf{R}^9OC(O)N(\mathbf{R}^9)(CH_2)_w-$ , or halo, wherein w is an integer between 0 and 4 and  $\mathbf{R}^9$  and  $\mathbf{R}^{10}$  are independently selected from hydrogen, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonyl and C<sub>3-7</sub>carbocyclyl,  $\mathbf{R}^9'$  and  $\mathbf{R}^{10'}$  are independently selected from C<sub>1-4</sub>alkylsulphonyl and C<sub>3-7</sub>carbocyclyl, and  $\mathbf{R}^9''$  and  $\mathbf{R}^{10''}$  are C<sub>3-7</sub>carbocyclyl; wherein an amino group within  $\mathbf{R}^{12}$  is optionally substituted by C<sub>1-4</sub>alkyl;

10  $\mathbf{R}^{13}$  is C<sub>1-4</sub>alkylaminocarbonyl wherein the alkyl group is optionally substituted by 1, 2 or 3 groups selected from  $\mathbf{R}^{12}$ , or  $\mathbf{R}^{13}$  is a group -C(O)- $\mathbf{R}^{18}$  and  $\mathbf{R}^{18}$  is selected from an amino acid derivative or an amide of an amino acid derivative;

15  $\mathbf{M}$  is selected from -CH<sub>2</sub>-CH<sub>2</sub>- or -CH=CH-;

20  $\mathbf{n}$  is an integer from 0 to 2;

$\mathbf{p}$  is an integer from 0 to 4;

$\mathbf{s}$ ,  $\mathbf{s}1$  and  $\mathbf{s}2$  are independently selected from an integer from 0 to 4, and

$\mathbf{s}1+\mathbf{s}2$  is less than or equal to 4;

$\mathbf{t}$  is an integer between 0 and 4; and

25 or a salt, solvate or pro-drug thereof, in the manufacture of a medicament for

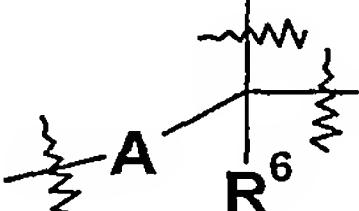
(a) antagonising gonadotropin releasing hormone activity;

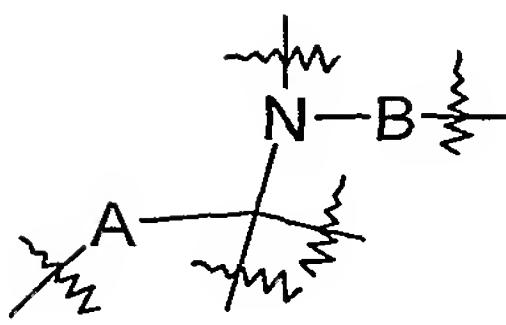
(b) administration to a patient, for reducing the secretion of luteinizing hormone by the pituitary gland of the patient; and

(c) administration to a patient, for therapeutically treating and/or preventing a sex hormone

30 related condition in the patient.

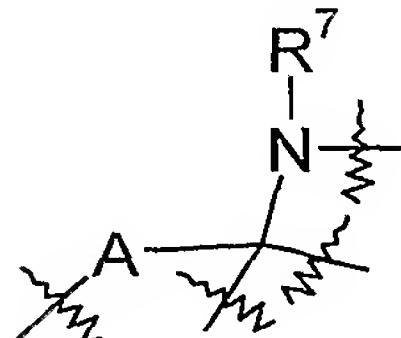
2. A compound of formula (IA) which is a compound of formula (I) as defined in claim 1, with the proviso that when

(i) the group  forms an aromatic carbocyclic ring of 3-7 carbon atoms or an aromatic heterocyclic ring containing one or more heteroatoms, or

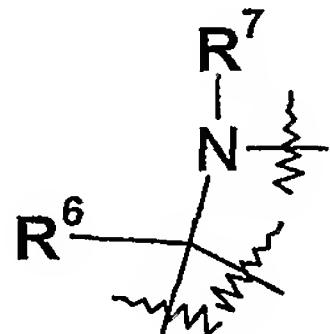


(ii) when  $\mathbf{R}^3$  is a group of Formula (IIa) or (IIb), and the group forms an aromatic heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms; or

(iii) when  $\mathbf{R}^3$  is a group of Formula (IIa), (IIb), (IIc) or (IId), and the group



forms an aromatic heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms, or



(iv) when the group forms an aromatic heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms and A is a direct bond; then  $\mathbf{R}^5$  is other than a group III-o.

10 3. A compound according to claim 2 wherein the group A is selected from (i) a direct bond or (ii) optionally substituted  $\text{C}_{1-5}$ alkylene wherein the optional substituents are independently selected from: hydroxy, hydroxy $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ alkoxy,  $\text{C}_{1-4}$ alkoxy $\text{C}_{1-4}$ alkyl, aryl or aryl $\text{C}_{1-6}$ alkyl.

15 4. A compound according to claim 2 or claim 3 which includes a group  $\mathbf{R}^{13}$  and wherein the group  $\mathbf{R}^{13}$  is  $-\text{C}(\text{O})-\mathbf{R}^{18}$ , and  $\mathbf{R}^{18}$  is selected from an amino acid derivative or an amide of an amino acid derivative; or a salt, solvate or pro-drug thereof.

5. A compound according to any one of claims 2 to 4 wherein  $\mathbf{R}^1$  is selected from hydrogen, optionally substituted  $\text{C}_{1-6}$ alkyl or optionally substituted aryl $\text{C}_{1-6}$ alkyl, wherein the optional substituents are selected from: fluoro and  $\text{C}_{1-4}$ alkoxy.

- 96 -

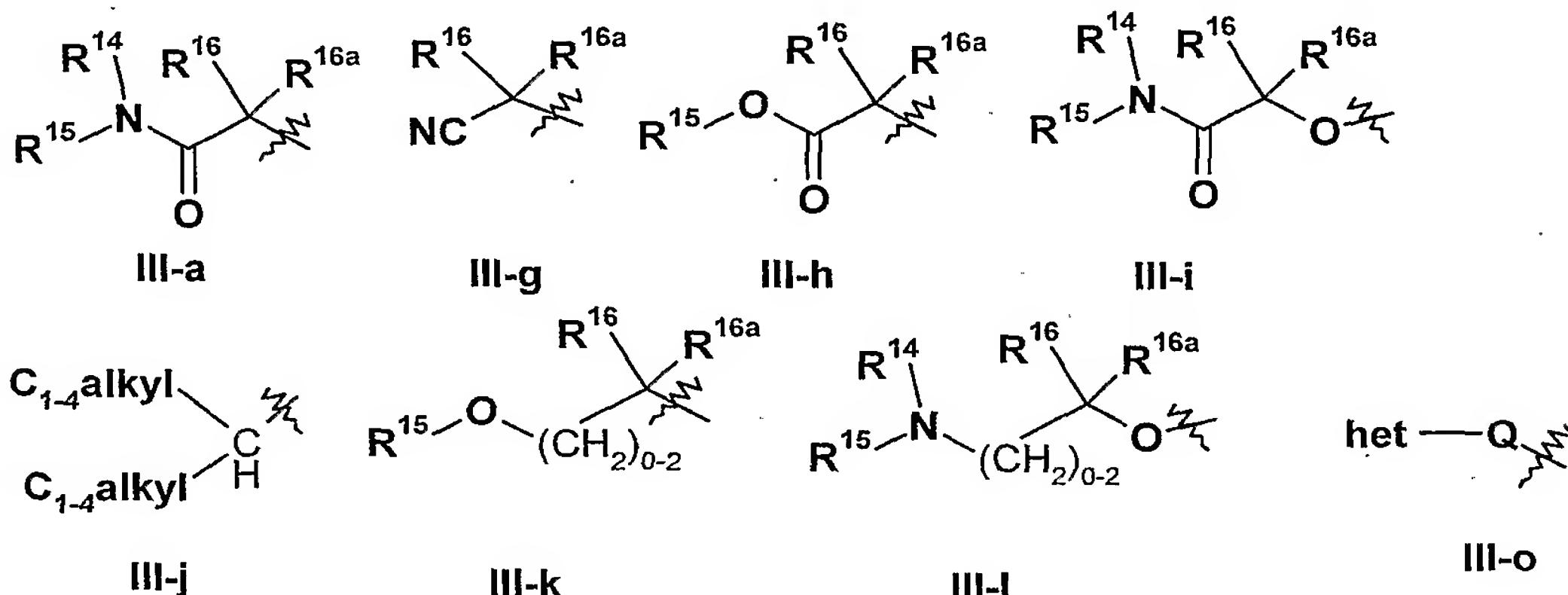
6. A compound according to any one of claims 2 to 5 wherein  $\mathbf{R}^2$  is phenyl, optionally substituted by one or more groups selected from methyl, ethyl, methoxy, ethoxy, *tert*-butoxy, F or Cl.

5 7. A compound according to any one of claims 2 to 6 wherein  $\mathbf{R}^3$  is selected from a group of formula (IIc) or formula (IId).

8. A compound according to any one of claims 2 to 7 wherein  $\mathbf{R}^4$  is selected from hydrogen, methyl, ethyl, chloro or bromo.

10

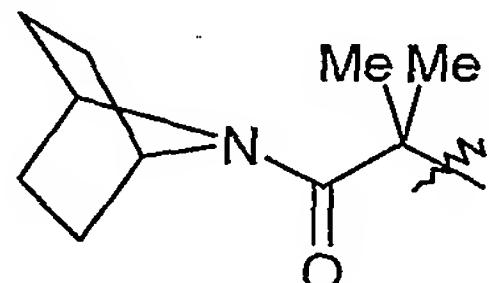
9. A compound according to any one of claims 2 to 8 wherein  $\mathbf{R}^5$  is selected from a group of Formula III-a, III-g, III-h, III-i, III-j, III-k, III-l, or III-o



wherein  $\mathbf{R}^{16}$ ,  $\mathbf{R}^{16a}$ ,  $\mathbf{R}^{14}$  and  $\mathbf{R}^{15}$  are as defined in claim 1.

15

10. A compound according to claim 9 wherein  $\mathbf{R}^5$  is a group of formula

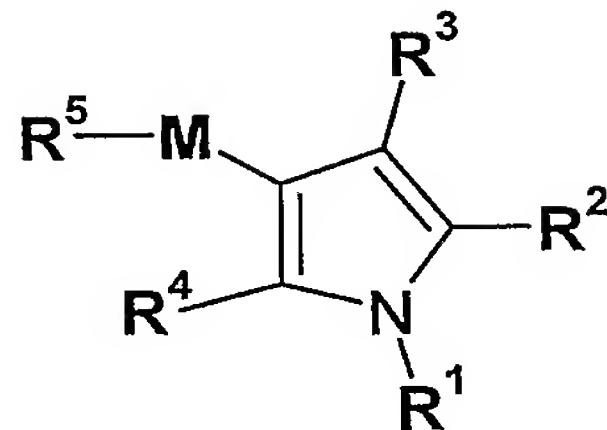


11. A compound according to any one of claims 2 to 10 wherein  $\mathbf{M}$  is  $-\text{CH}_2\text{-CH}_2-$ .

20

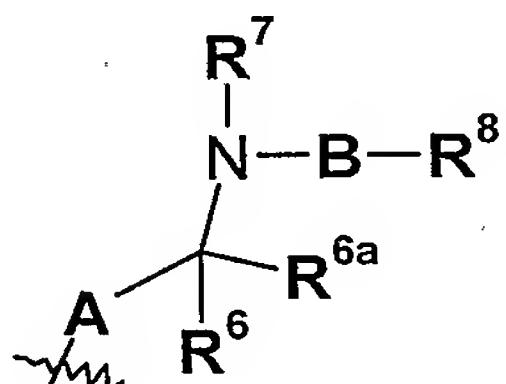
- 97 -

## 12. A compound of Formula (Ia)

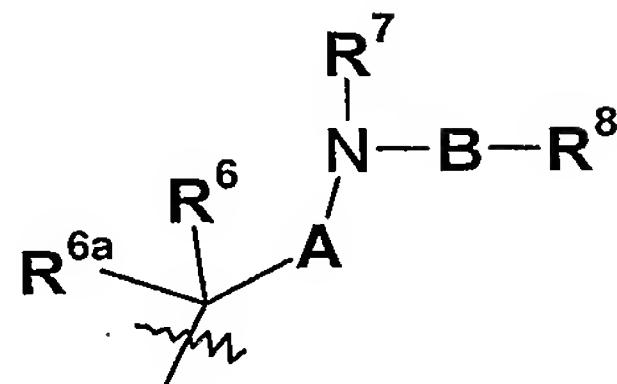


Formula (Ia)

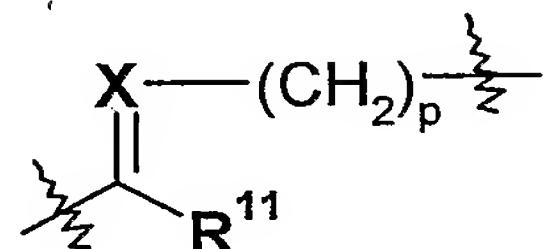
wherein:

5  $\mathbf{R}^3$  is selected from a group of Formula (IIa) or Formula (IIb):

Formula (IIa)



Formula (IIb)

 $\mathbf{R}^7$  is selected from: hydrogen or C<sub>1-6</sub>alkyl; $\mathbf{B}$  is a group of Formula (IV)

Formula (IV)

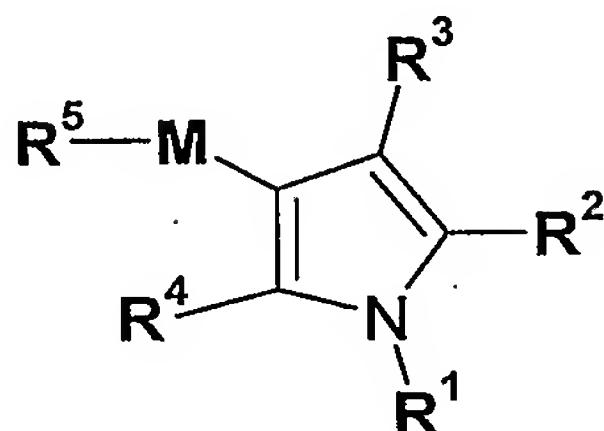
10

and p, A, X,  $\mathbf{M}$ ,  $\mathbf{R}^1$ ,  $\mathbf{R}^2$ ,  $\mathbf{R}^4$ ,  $\mathbf{R}^5$ ,  $\mathbf{R}^6$ ,  $\mathbf{R}^{6a}$ ,  $\mathbf{R}^8$ , and  $\mathbf{R}^{11}$  are as defined above for a compound of Formula (I)

or a salt, solvate or pro-drug thereof.

15

## 13. A compound of Formula (Ic)

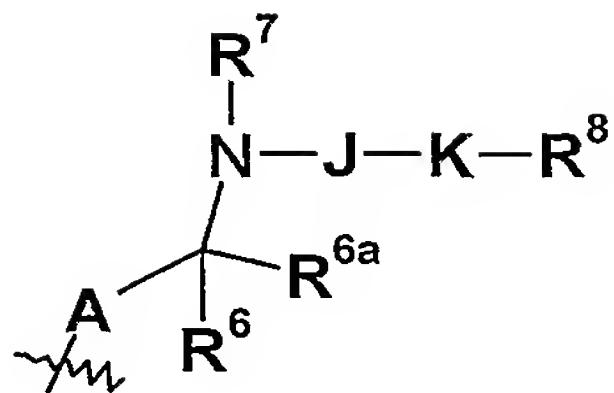


Formula (Ic)

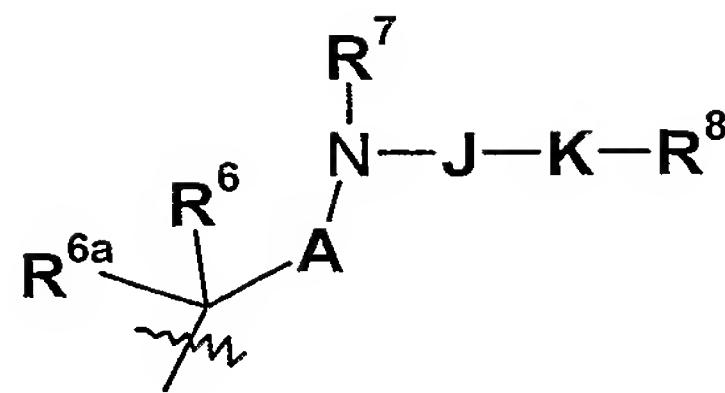
wherein:

20  $\mathbf{R}^3$  is selected from a group of Formula (IIc) or Formula (IId):

- 98 -

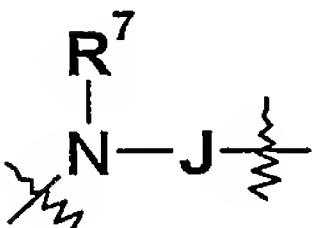


Formula (IIc)



Formula (IId)

wherein



the group together forms an optionally substituted heterocyclic ring

5 containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from R<sup>12</sup> and R<sup>13</sup>;and A, M, J, R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>6a</sup>, R<sup>8</sup>, and R<sup>12</sup> and R<sup>13</sup> are as defined in claim 1, or a salt, solvate or pro-drug thereof.

10 14. A compound selected from:

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(morpholin-4-ylcarbonyl)piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)but-2-en-1-yl]-4-[1S-methyl-2-(n'-isopropoxycarbonyl-3-pyrid-4-yl-pyrrolidin-1-ylcarboximidamido) ethyl]-5-

15 (3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1S-methyl-2-(N'-isopropoxycarbonyl-3-pyrid-4-yl-pyrrolidin-1-ylcarboximidamido) ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(pyrrolidin-1-ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

20 2-chloro-3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(pyrrolidin-1-ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(4-hydroxypiperidin-1-ylcarbonyl)piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

25 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(1,1-dioxo-isothiazolidin-2-ylcarbonyl)-4-methoxy-piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

- 99 -

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{1-benzyl-pyrroldin-3-ylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-(2-{4-n-isopropylureidophenyl}ethylamino)ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

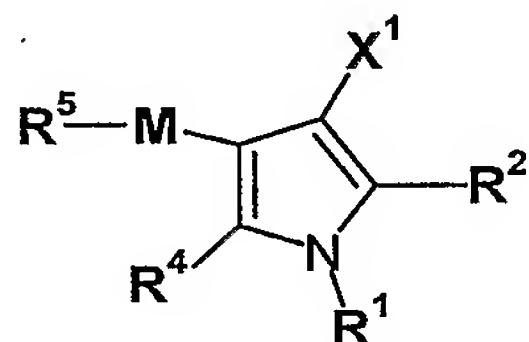
5 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{4-(pyrid-4-yl)piperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{3-(pyrid-4-yl)ppyrrolidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole; and

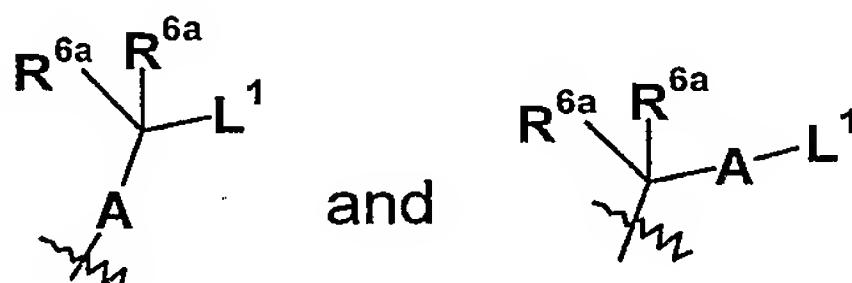
3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{4-phenylpiperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole.

15. A process for preparing a compound of formula (I) as defined in claim 1, or a compound according to any one of claims 2 to 14, said process comprising a step selected from (a) to (h):

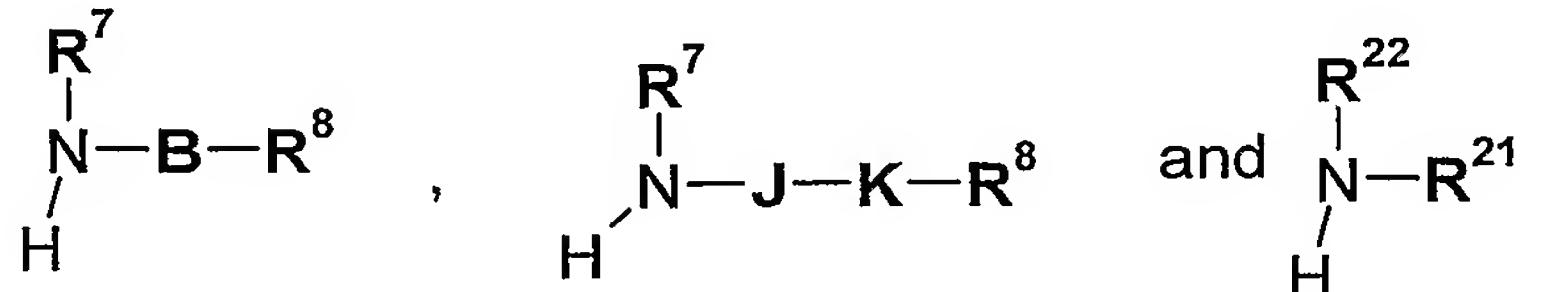
15 (a) reaction of a compound of formula **XXXII** with a compound of formula **H-R<sup>3'</sup>**,



**XXXII**



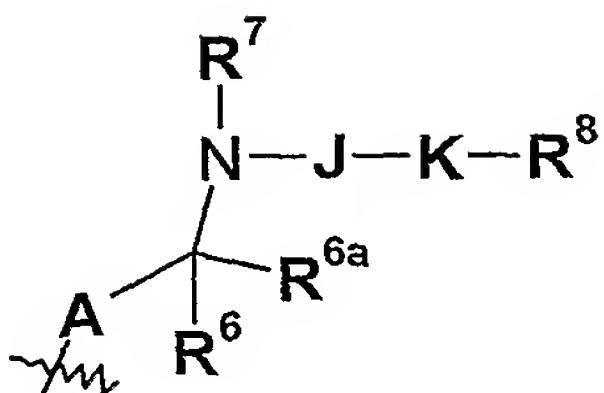
wherein **X<sup>1</sup>** is selected from: and ; **L<sup>1</sup>** is a displaceable group; and



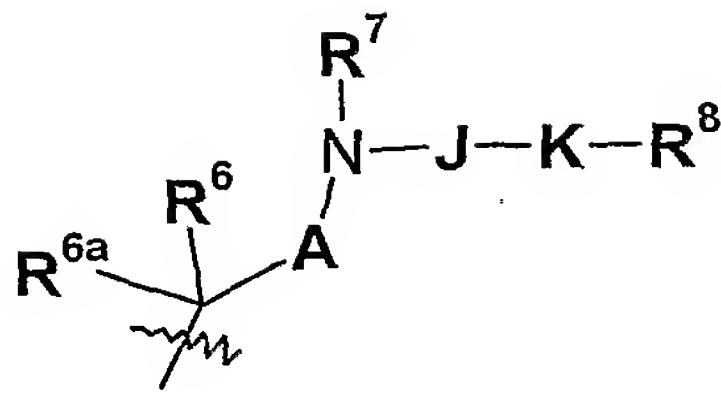
**H-R<sup>3'</sup>** is selected from:

20 (b) reaction of a compound of formula **XXXIII** with a compound of formula **L<sup>2</sup>-R<sup>3''</sup>**,

- 98 -

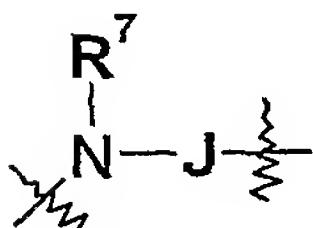


Formula (IIc)



Formula (IId)

wherein



the group together forms an optionally substituted heterocyclic ring containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from  $\mathbf{R}^{12}$  and  $\mathbf{R}^{13}$ ;  
 and  $\mathbf{A}$ ,  $\mathbf{M}$ ,  $\mathbf{J}$ ,  $\mathbf{R}^1$ ,  $\mathbf{R}^2$ ,  $\mathbf{R}^4$ ,  $\mathbf{R}^5$ ,  $\mathbf{R}^6$ ,  $\mathbf{R}^{6a}$ ,  $\mathbf{R}^8$ , and  $\mathbf{R}^{12}$  and  $\mathbf{R}^{13}$  are as defined in claim 1, or a salt, solvate or pro-drug thereof.

10 14. A compound selected from:

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(morpholin-4-ylcarbonyl)piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;  
 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)but-2-en-1-yl]-4-[1S-methyl-2-(N'-isopropoxycarbonyl-3-pyrid-4-yl-pyrrolidin-1-ylcarboximidamido)ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;  
 3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(pyrrolidin-1-ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;  
 2-chloro-3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(pyrrolidin-1-ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;  
 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(4-hydroxypiperidin-1-ylcarbonyl)piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;  
 25 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(1,1-dioxo-isothiazolidin-2-ylcarbonyl)-4-methoxy-piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

- 99 -

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{1-benzyl-pyrroldin-3-ylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-(2-{4-n-isopropylureidophenyl}ethylamino)ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

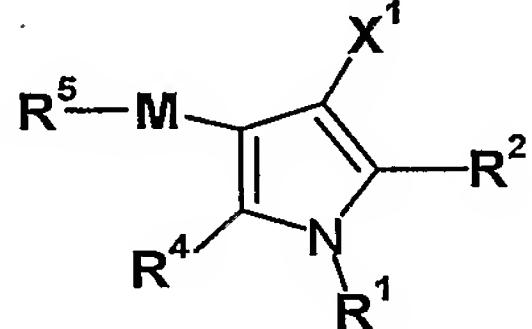
5 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{4-(pyrid-4-yl)piperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{3-(pyrid-4-yl)ppyrrolidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole; and

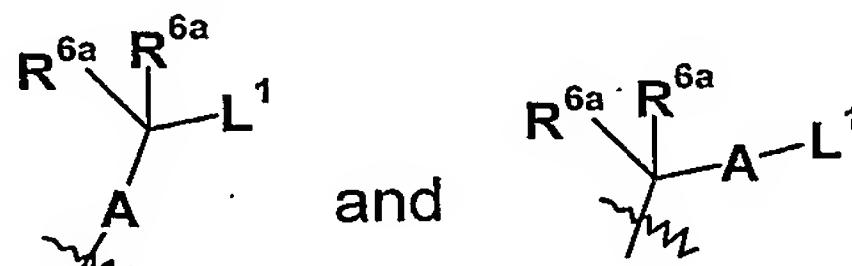
10 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{4-phenylpiperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole.

15. A process for preparing a compound of formula (I) as defined in claim 1, or a compound according to any one of claims 2 to 14, said process comprising a step selected from (a) to (h):

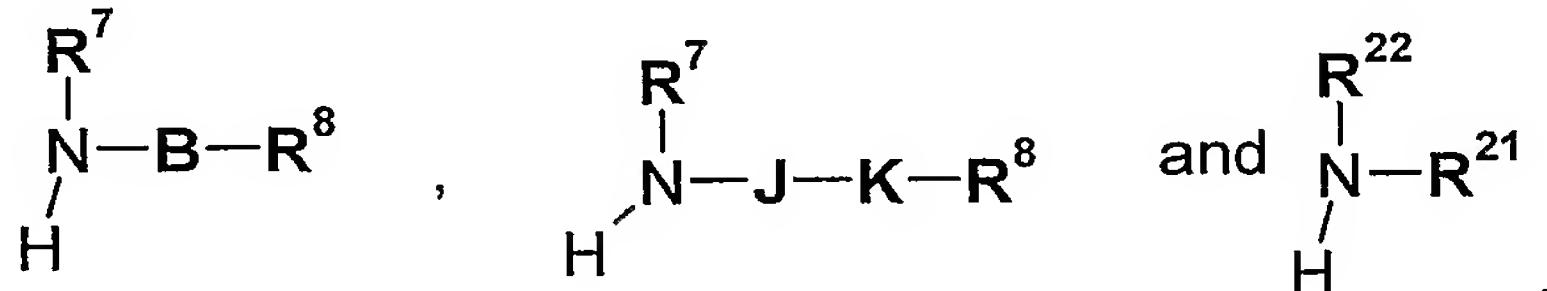
15 (a) reaction of a compound of formula **XXXII** with a compound of formula **H-R<sup>3'</sup>**,



**XXXII**



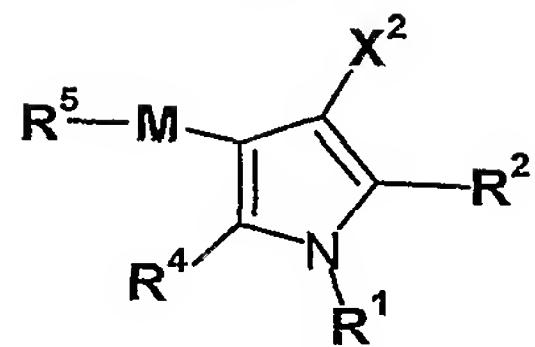
wherein **X<sup>1</sup>** is selected from: ; **L<sup>1</sup>** is a displaceable group; and



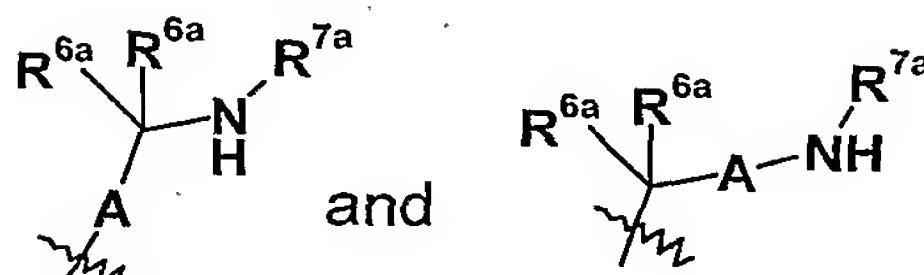
**H-R<sup>3'</sup>** is selected from:

20 (b) reaction of a compound of formula **XXXIII** with a compound of formula **L<sup>2</sup>-R<sup>3''</sup>**,

- 100 -



## XXXIII

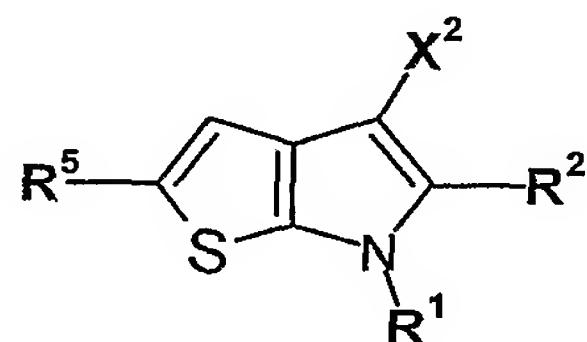


wherein  $X^2$  is selected from: ;  $L^2$  is a displaceable group and  $R^{7a}$  is selected from the definition of  $R^7$  or  $R^{22}$  above, and

$L^2-R^3$  is selected from:  $L^2-B-R^8$  ,  $L^2-J-K-R^8$  and  $L^2-R^{21}$

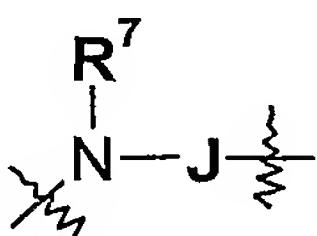
5 (c) for compounds of Formula (I) or (IA) wherein  $R^7$  is other than part of a heterocyclic ring or hydrogen, reaction of a compound of Formula (I) or (IA) wherein  $R^3$  is a group of Formula (IIa), (IIb), (IIc) or (IId) and  $R^7$  is hydrogen with a group of formula  $L^3-R^{7a}$ , wherein  $R^{7a}$  is as defined above for  $R^7$  with the exclusion of hydrogen and  $L^3$  is a displaceable group;

10 (d) for compounds of Formula (I) or (IA) wherein  $R^4$  is hydrogen, reduction of a thienopyrrole of Formula XXXVIII



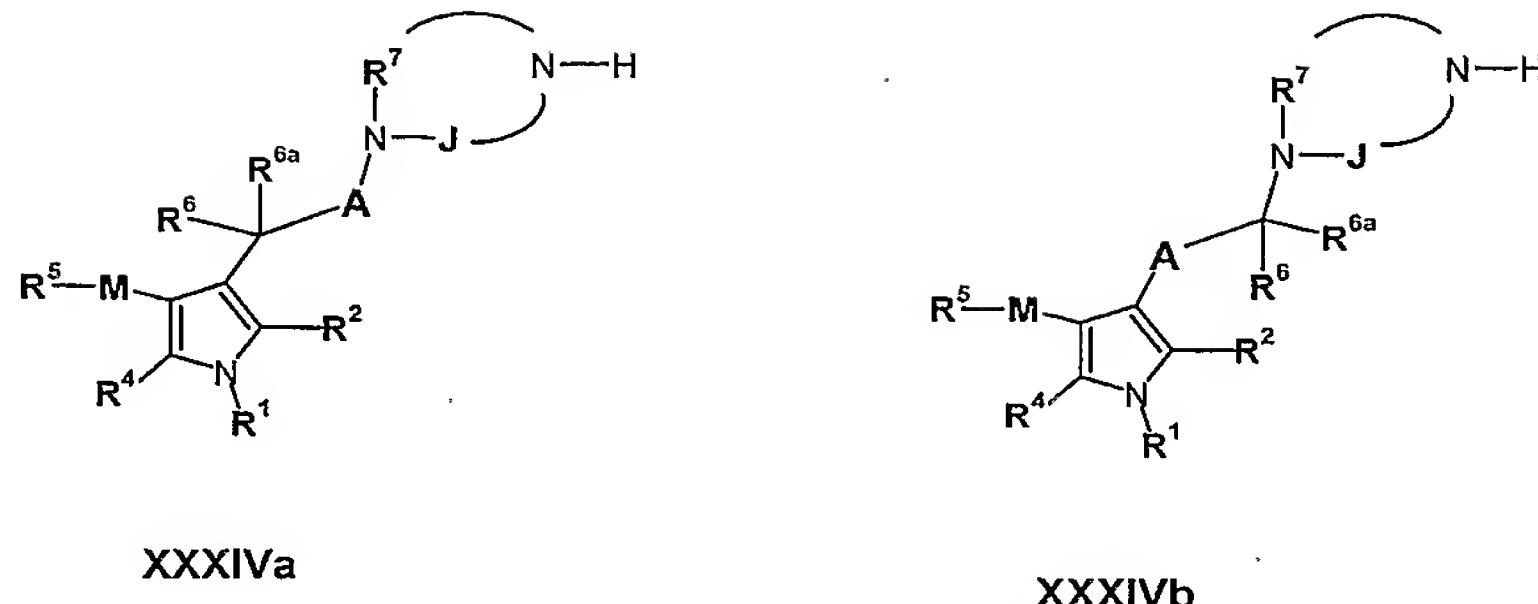
## XXXVII

(e) for compounds of Formula (I) wherein  $R^3$  is a group of Formula (IIc) or (IId) and

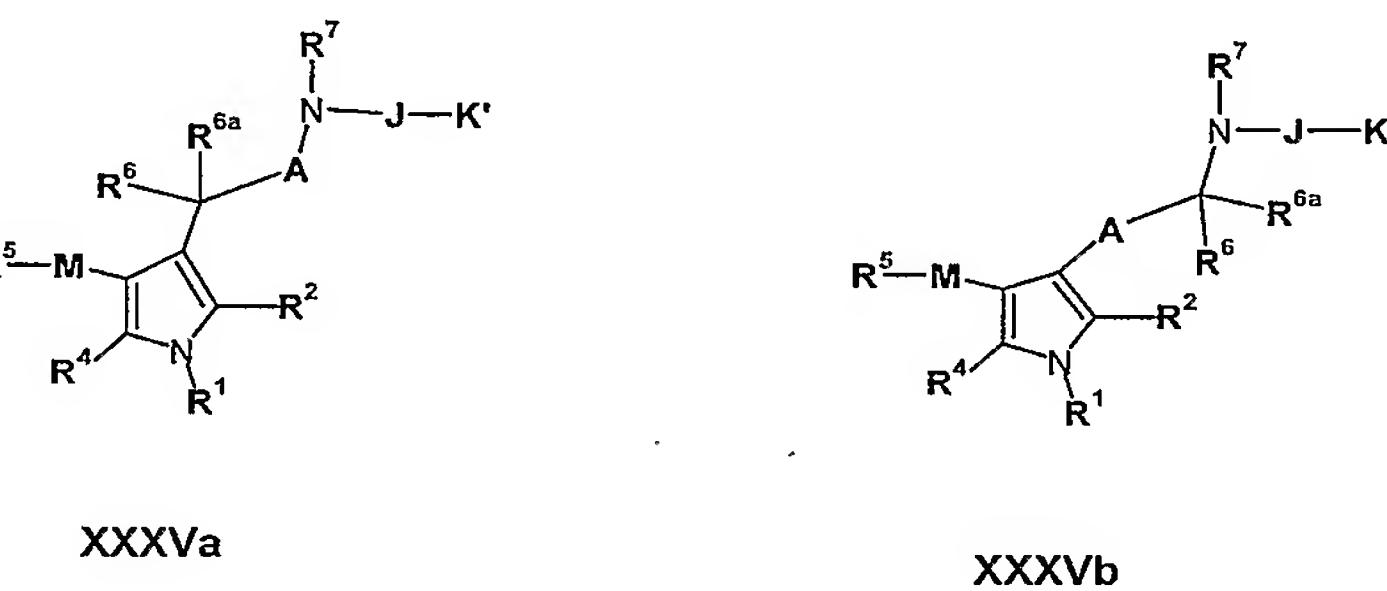


the group together forms an optionally substituted nitrogen-containing heterocyclic ring containing 4-7 carbon atoms, reaction of a compound of Formula XXXIVa or XXXIVb, with a compound of Formula  $L^6-K-R^8$ , wherein  $L^6$  is a displaceable group

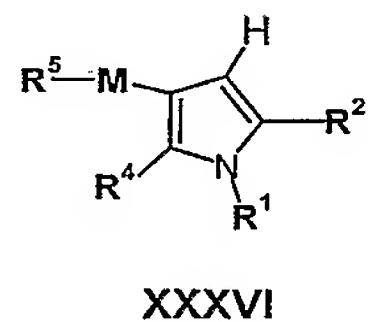
- 101 -



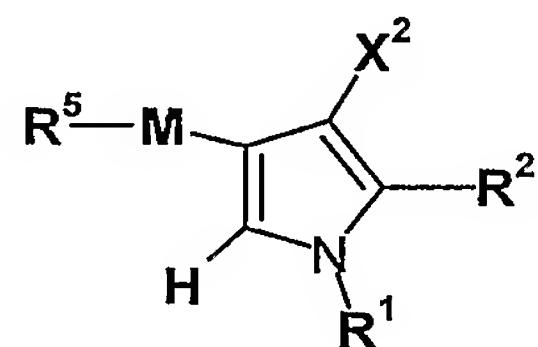
(f) for compounds of Formula (I) wherein  $\mathbf{R}^3$  is a group of Formula (IIc) or (IId), reaction of a compound of Formula **XXXVa** or **XXXVb**, with a compound of Formula  $\mathbf{L}^7\text{-K''-R}^8$ , wherein  $\mathbf{L}^7$  is a displaceable group, and wherein the groups  $\mathbf{K}'$  and  $\mathbf{K}''$  comprise groups which when reacted together form  $\mathbf{K}$ ,



(g) reaction of a compound of Formula **XXXVI** with an electrophilic compound of the formula  $\mathbf{L}^8\text{-R}^3$ , wherein  $\mathbf{L}^8$  is a displaceable group



10 (h) reaction of a compound of Formula **XXXIX** with an appropriate electrophilic reagent to give a compounds of Formula (I)



XXXIX

and thereafter if necessary, carrying out one or more of the following steps:

15 i) converting a compound of the Formula (I) into another compound of the Formula (I);  
ii) removing any protecting groups;

- 102 -

iii) forming a salt, pro-drug or solvate.

16. A pharmaceutical formulation comprising a compound according to any one of claims 2 to 14, or salt, pro-drug or solvate thereof, and a pharmaceutically acceptable diluent or 5 carrier.

17. A method of antagonising gonadotropin releasing hormone activity in a patient, comprising administering a compound of formula (I) or (IA), or salt, pro-drug or solvate thereof, to a patient.

10

18. A compound according to any one of claims 2 to 14 for use as a medicament.